ABSTRACT OF THE DISCLOSURE

Methods and compositions are provided for identifying compounds having affinity or complementarity to a target molecule. Compounds according to the invention may be described by the formula $E-C_a-R-C_b-A$, wherein E is a therapeutic or diagnostic agent, R is a reactive group, C_a and C_b are connector groups between E and R and between R and A, respectively, and A is a group having an affinity for human serum albumin, wherein affinity group A comprises a sequence of amino acid residues $-O_1-O_2-X_1-X_2-B$ in which the amino acid residues are independently selected from the group of all twenty naturally occurring amino acids. Compounds according to the invention may be used for labeling the target molecule, particularly where the target molecule is naturally found in a complex mixture, such as a physiological fluid, like blood. By affinity labeling *in vivo*, the lifetime of physiologically active entities can be greatly enhanced by becoming bound to long-lived blood components. The covalently bound entity may also serve as an antagonist or agonist of a particular binding protein or as an enzyme inhibitor.